

App's WO

10/088369

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:208281 CAPLUS
 DN 134:252333
 TI Preparation of N-(aralkyl) (thienoisoxazolylphenoxy) alkanamines and
 analogs
 as dopamine D4 antagonists
 IN Lee, George E.; Ayers, Timothy A.; Jurcak, John G.
 PA Aventis Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 108 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001019832	A2	20010322	WO 2000-US24949	20000913
	WO 2001019832	A3	20011004		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				
	HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,				
	LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,				
	SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,				
	YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				
	CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2383327	AA	20010322	CA 2000-2383327	20000913
	EP 1216249	A2	20020626	EP 2000-964967	20000913
	EP 1216249	B1	20031119		
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL				
	BR 2000014513	A	20020702	BR 2000-14513	20000913
	EE 200200133	A	20030415	EE 2002-133	20000913
	AT 254620	E	20031215	AT 2000-964967	20000913
	PT 1216249	T	20040430	PT 2000-964967	20000913
	ES 2206305	T3	20040516	ES 2000-964967	20000913
	ZA 2002001760	A	20030602	ZA 2002-1760	20020301
	NO 2002001249	A	20020510	NO 2002-1249	20020313
PRAI	US 1999-396156	A1	19990914		
	WO 2000-US24949	W	20000913		
OS	MARPAT 134:252333				

AB ROZR3 [R3 = thieno[2,3-d]isoxazol-3-yl throughout][I; R = Z1NR1R2 or
 1-benzyl-3-pyrrolidinyl; R1 = CH2R4, CH2CH(OH)R4, CHMeR4, indanyl, etc.;
 R2 = H or alkyl; NR1R2 = heterocyclyl; R4 = cyclohexenyl, (hetero)aryl,
 etc.; Z = phenylene; Z1 = alkylene] were prepared Thus, 3-bromothiophene
 was acylated by 3-(MeO)C6H4COCl and the oximated product cyclized to
 give,

after O-demethylation, 3-R3C6H4OH which was etherified by
 3-FC6H4CH2NHCOCH2Cl (preparation given) and the product reduced to give
 3-R3C6H4OCH2CH2NHCH2C6H4F-3. Data for biol. activity of I were given.

IT 330678-74-5P 330678-75-6P 330678-76-7P
 330678-77-8P 330678-78-9P 330678-79-0P
 330678-80-3P 330678-81-4P 330678-82-5P
 330678-83-6P 330678-84-7P 330678-85-8P
 330678-86-9P 330678-87-0P 330678-88-1P
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330678-95-0P 330678-96-1P 330678-97-2P
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330679-37-3P 330679-38-4P 330679-39-5P
330981-75-4P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

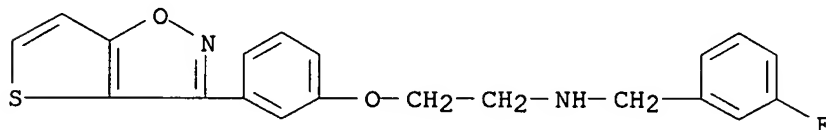
BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(aralkyl)(thienoisoxazolylphenoxy)alkanamines and
analogs

as dopamine D4 antagonists)

RN 330678-74-5 CAPLUS

CN Benzenemethanamine, 3-fluoro-N-[2-(3-thieno[2,3-d]isoxazol-3-
ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



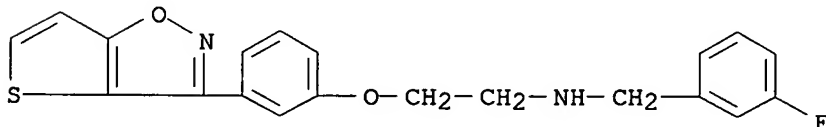
RN 330678-75-6 CAPLUS

CN Benzenemethanamine, 3-fluoro-N-[2-(3-thieno[2,3-d]isoxazol-3-
ylphenoxy)ethyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 330678-74-5

CMF C20 H17 F N2 O2 S



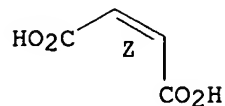
CM 2

CRN 110-16-7

CMF C4 H4 O4

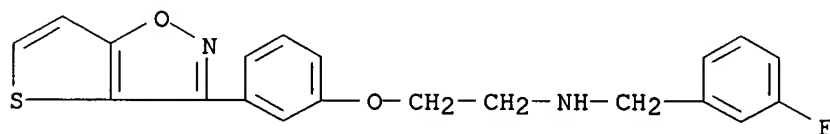
10/088369

Double bond geometry as shown.



RN 330678-76-7 CAPLUS

CN Benzenemethanamine, 3-fluoro-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

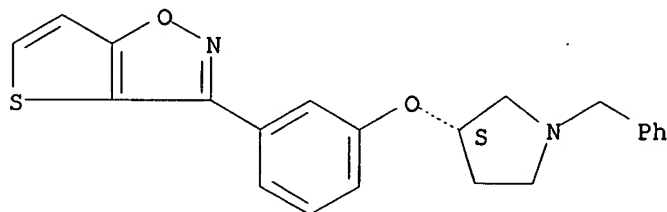


● HCl

RN 330678-77-8 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[[[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]oxy]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



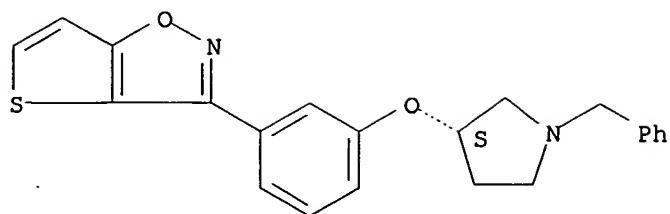
● HCl

RN 330678-78-9 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[[[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

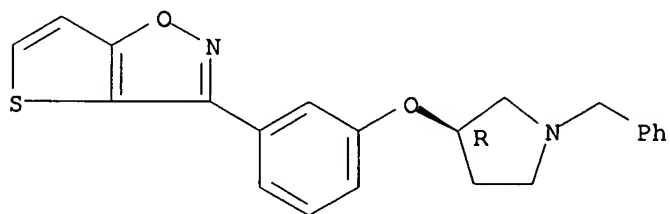
10/088369



RN 330678-79-0 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[(3R)-1-(phenylmethyl)-3-pyrrolidinyl]oxy]phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

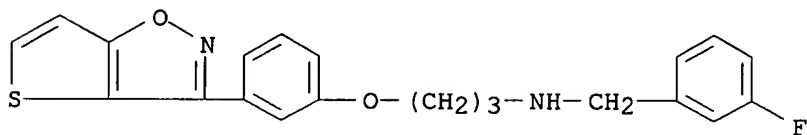
Absolute stereochemistry. Rotation (-).



● HCl

RN 330678-80-3 CAPLUS

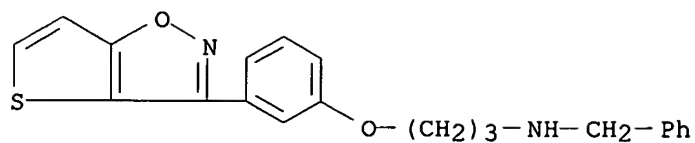
CN Benzenemethanamine, 3-fluoro-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)



RN 330678-81-4 CAPLUS

CN Benzenemethanamine, N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

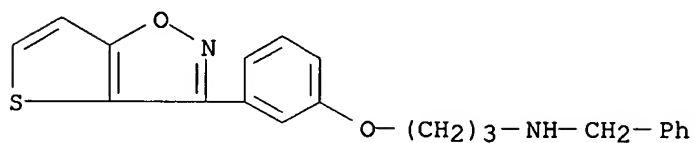
10/088369



● HCl

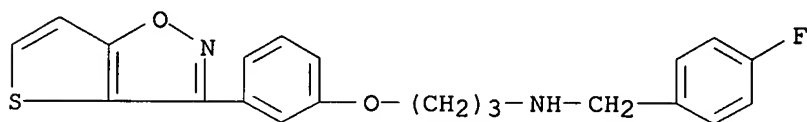
RN 330678-82-5 CAPLUS

CN Benzenemethanamine, N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]-
(9CI) (CA INDEX NAME)



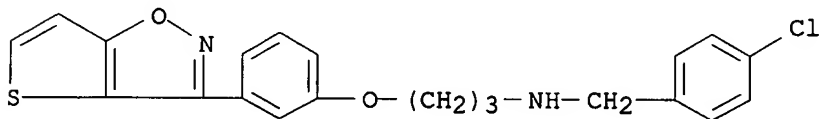
RN 330678-83-6 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)



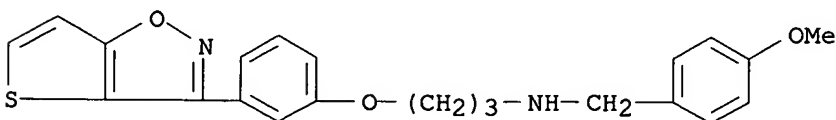
RN 330678-84-7 CAPLUS

CN Benzenemethanamine, 4-chloro-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)



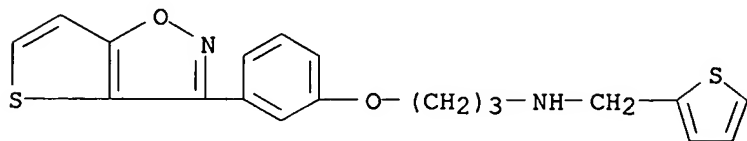
RN 330678-85-8 CAPLUS

CN Benzenemethanamine, 4-methoxy-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)

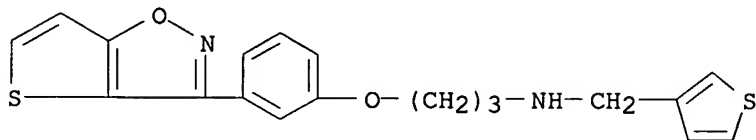


10/088369

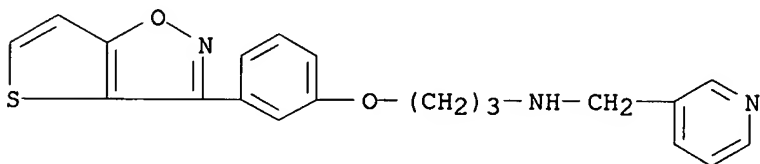
RN 330678-86-9 CAPLUS
CN 2-Thiophenemethanamine,
N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]-
(9CI) (CA INDEX NAME)



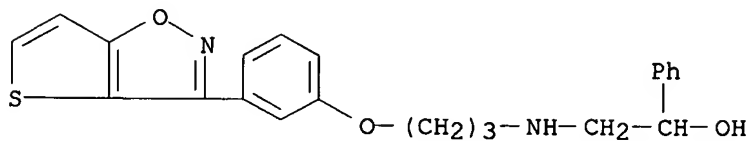
RN 330678-87-0 CAPLUS
CN 3-Thiophenemethanamine,
N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]-
(9CI) (CA INDEX NAME)



RN 330678-88-1 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]-
(9CI) (CA INDEX NAME)

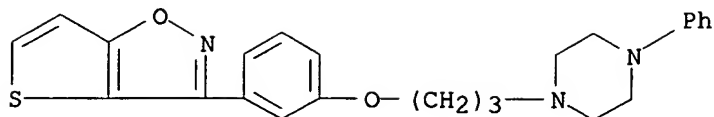


RN 330678-89-2 CAPLUS
CN Benzenemethanol, α -[[[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]amino]methyl]- (9CI) (CA INDEX NAME)



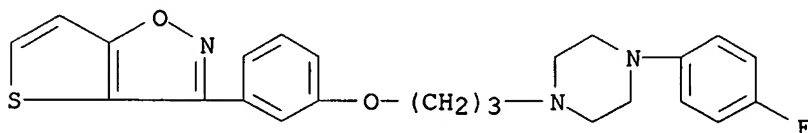
RN 330678-90-5 CAPLUS
CN Thieno[2,3-d]isoxazole, 3-[3-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-
(9CI) (CA INDEX NAME)

10/088369



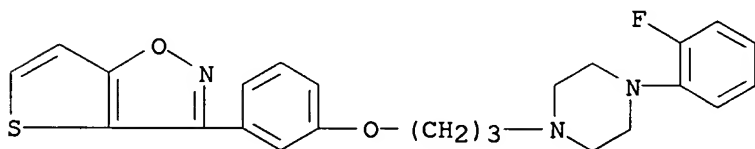
RN 330678-91-6 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[3-[4-(4-fluorophenyl)-1-piperazinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)



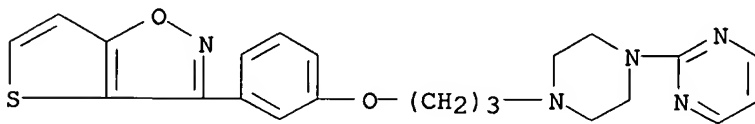
RN 330678-92-7 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[3-[4-(2-fluorophenyl)-1-piperazinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)



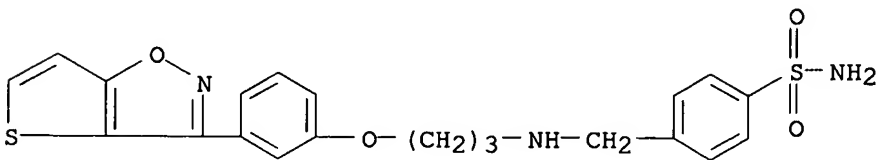
RN 330678-93-8 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 330678-94-9 CAPLUS

CN Benzenesulfonamide, 4-[[[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

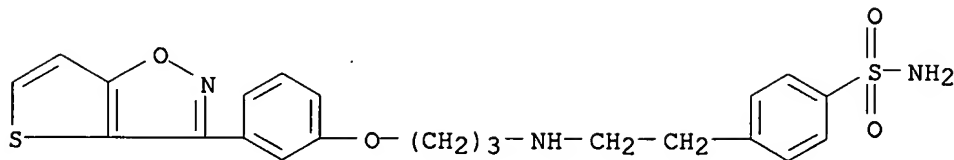


RN 330678-95-0 CAPLUS

CN Benzenesulfonamide, 4-[2-[[3-(3-thieno[2,3-d]isoxazol-3-

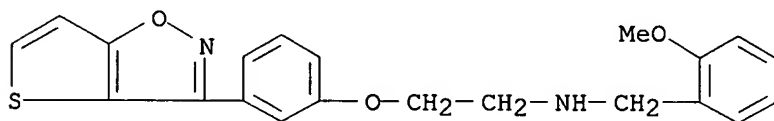
10/088369

ylphenoxy)propyl]amino]ethyl]- (9CI) (CA INDEX NAME)



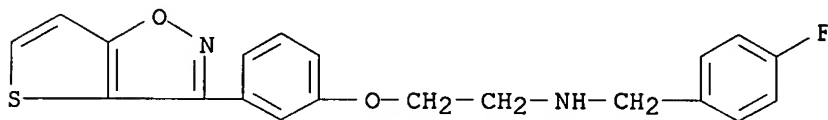
RN 330678-96-1 CAPLUS

CN Benzenemethanamine, 2-methoxy-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



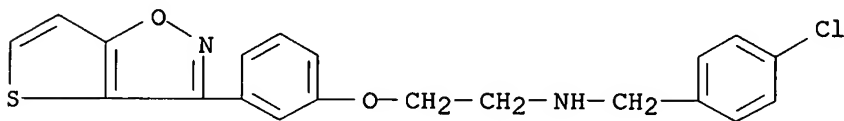
RN 330678-97-2 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



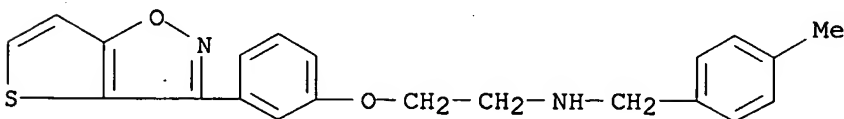
RN 330678-98-3 CAPLUS

CN Benzenemethanamine, 4-chloro-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



RN 330678-99-4 CAPLUS

CN Benzenemethanamine, 4-methyl-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)

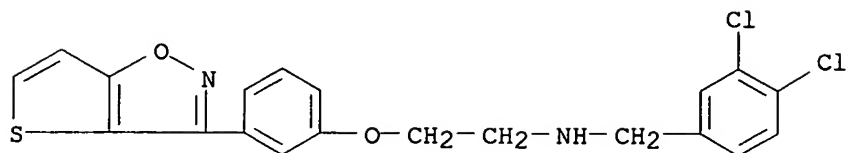


RN 330679-00-0 CAPLUS

CN Benzenemethanamine, 3,4-dichloro-N-[2-(3-thieno[2,3-d]isoxazol-3-

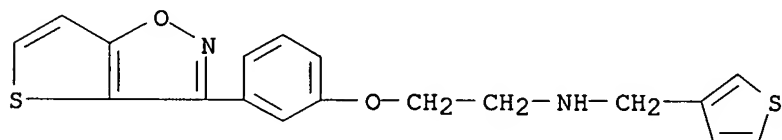
10/088369

ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



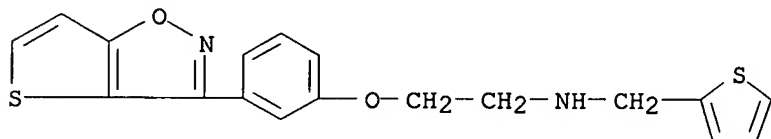
RN 330679-01-1 CAPLUS

CN 3-Thiophenemethanamine, N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-
(9CI) (CA INDEX NAME)



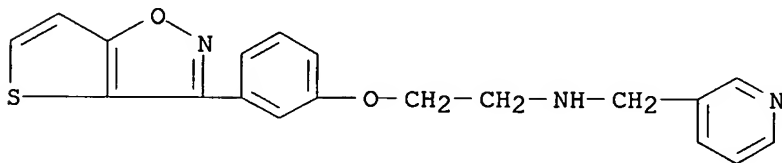
RN 330679-02-2 CAPLUS

CN 2-Thiophenemethanamine, N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-
(9CI) (CA INDEX NAME)



RN 330679-03-3 CAPLUS

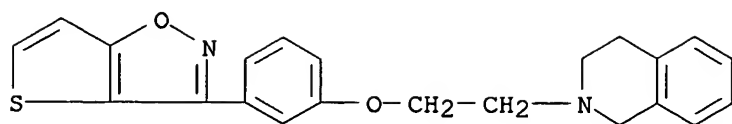
CN 3-Pyridinemethanamine, N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-
(9CI) (CA INDEX NAME)



RN 330679-04-4 CAPLUS

CN Isoquinoline, 1,2,3,4-tetrahydro-2-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

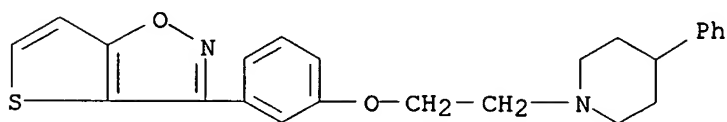
10/088369



● HCl

RN 330679-05-5 CAPLUS

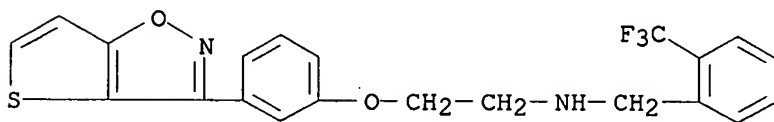
CN Thieno[2,3-d]isoxazole, 3-[3-[2-(4-phenyl-1-piperidinyl)ethoxy]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

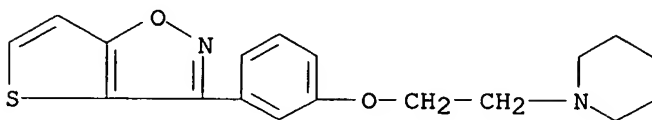
RN 330679-06-6 CAPLUS

CN Benzenemethanamine, N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 330679-07-7 CAPLUS

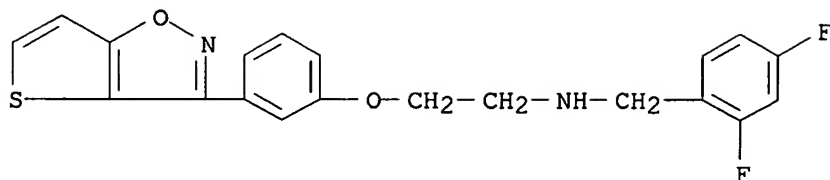
CN Thieno[2,3-d]isoxazole, 3-[3-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 330679-08-8 CAPLUS

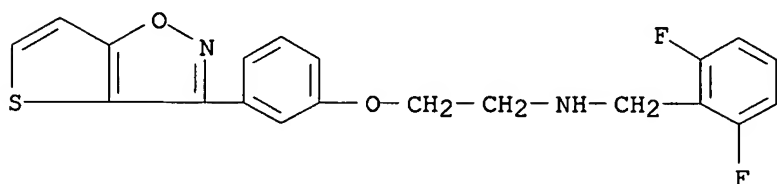
CN Benzenemethanamine, 2,4-difluoro-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)

10/088369



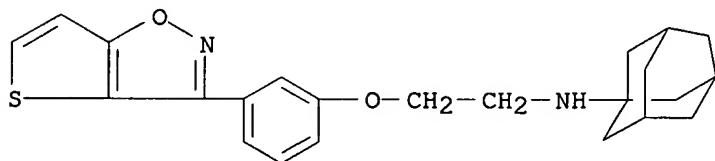
RN 330679-09-9 CAPLUS

CN Benzenemethanamine, 2,6-difluoro-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



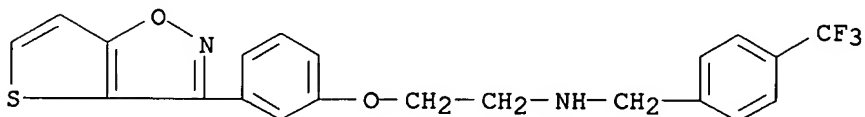
RN 330679-10-2 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decan-1-amine, N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



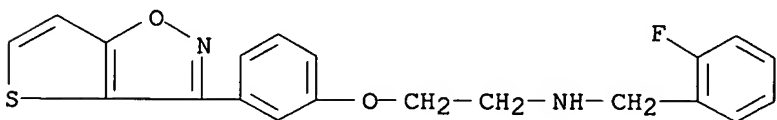
RN 330679-11-3 CAPLUS

CN Benzenemethanamine, N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 330679-12-4 CAPLUS

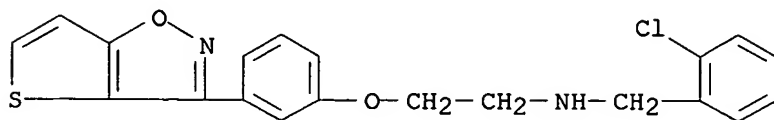
CN Benzenemethanamine, 2-fluoro-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



10/088369

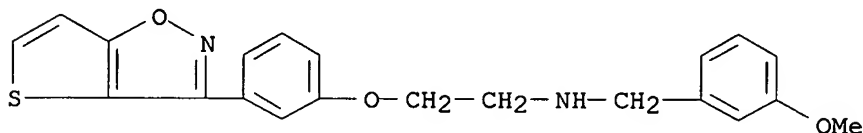
RN 330679-13-5 CAPLUS

CN Benzenemethanamine, 2-chloro-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



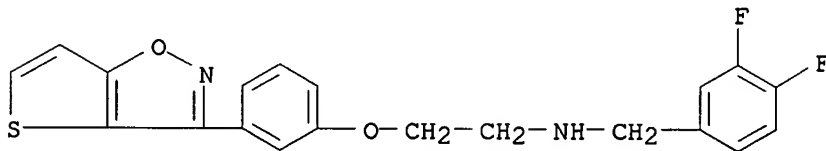
RN 330679-14-6 CAPLUS

CN Benzenemethanamine, 3-methoxy-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



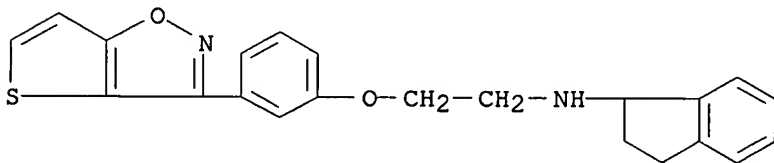
RN 330679-15-7 CAPLUS

CN Benzenemethanamine, 3,4-difluoro-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



RN 330679-16-8 CAPLUS

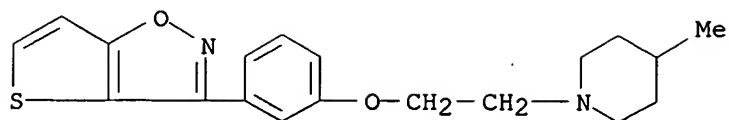
CN 1H-Inden-1-amine, 2,3-dihydro-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]- (9CI) (CA INDEX NAME)



RN 330679-17-9 CAPLUS

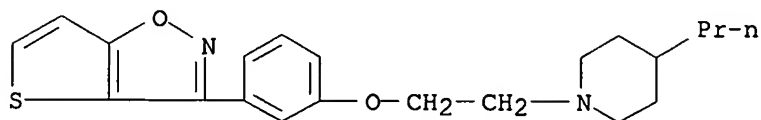
CN Thieno[2,3-d]isoxazole, 3-[3-[2-(4-methyl-1-piperidinyloxy)phenyl]- (9CI) (CA INDEX NAME)

10/088369



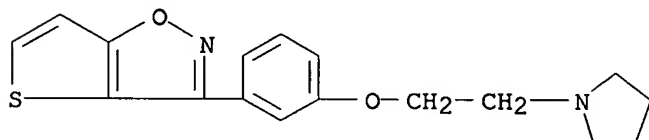
RN 330679-18-0 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[2-(4-propyl-1-piperidinyl)ethoxy]phenyl]-
(9CI) (CA INDEX NAME)



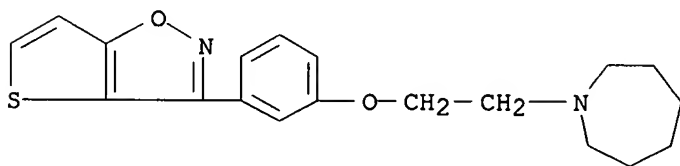
RN 330679-19-1 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI)
(CA INDEX NAME)



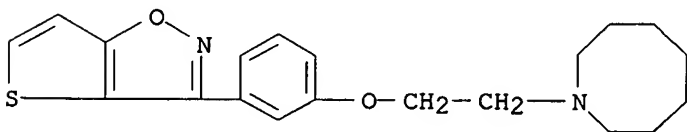
RN 330679-20-4 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]-
(9CI) (CA INDEX NAME)



RN 330679-21-5 CAPLUS

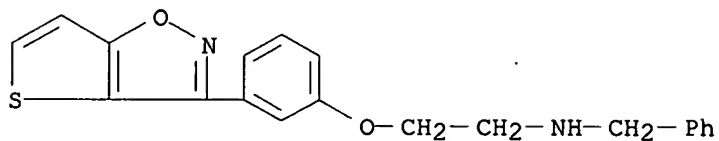
CN Thieno[2,3-d]isoxazole, 3-[3-[2-(hexahydro-1(2H)-azocinyl)ethoxy]phenyl]-
(9CI) (CA INDEX NAME)



RN 330679-22-6 CAPLUS

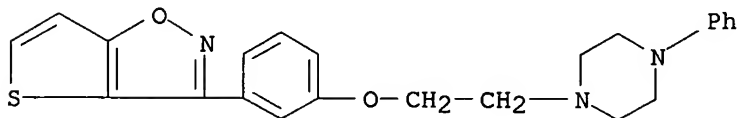
10/088369

CN Benzenemethanamine, N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-
(9CI) (CA INDEX NAME)



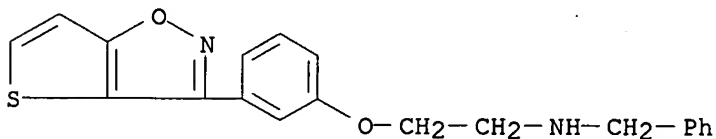
RN 330679-23-7 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[2-(4-phenyl-1-piperazinyl)ethoxy]phenyl]-
(9CI) (CA INDEX NAME)



RN 330679-24-8 CAPLUS

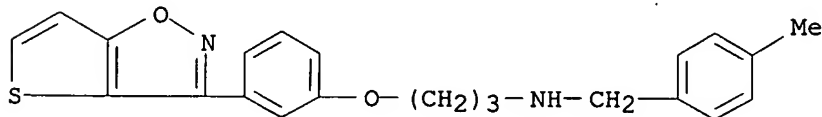
CN Benzenemethanamine, N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 330679-25-9 CAPLUS

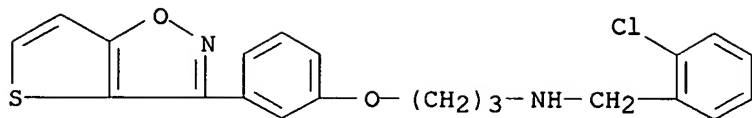
CN Benzenemethanamine, 4-methyl-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)



RN 330679-26-0 CAPLUS

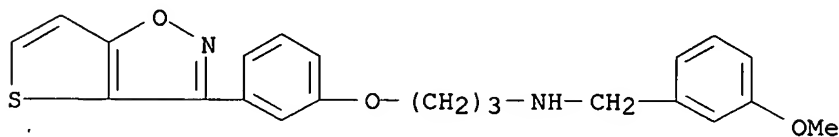
CN Benzenemethanamine, 2-chloro-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)

10/088369



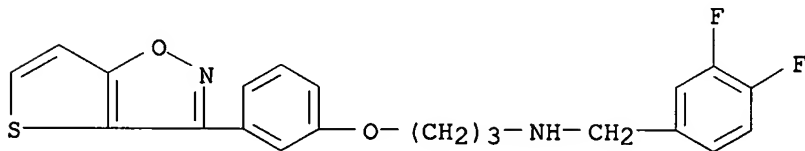
RN 330679-27-1 CAPLUS

CN Benzenemethanamine, 3-methoxy-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)



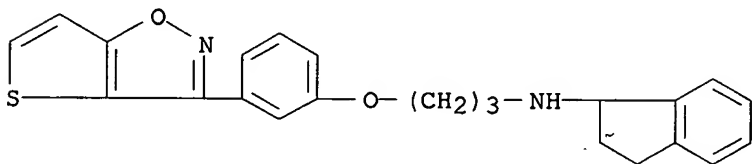
RN 330679-28-2 CAPLUS

CN Benzenemethanamine, 3,4-difluoro-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)



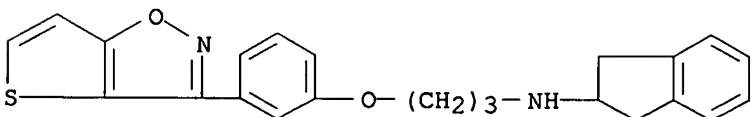
RN 330679-29-3 CAPLUS

CN 1H-Inden-1-amine, 2,3-dihydro-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)



RN 330679-30-6 CAPLUS

CN 1H-Inden-2-amine, 2,3-dihydro-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)

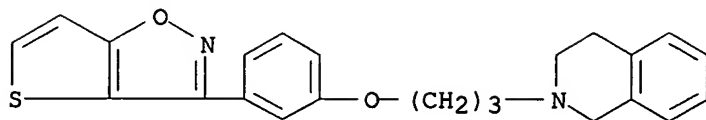


RN 330679-31-7 CAPLUS

CN Isoquinoline, 1,2,3,4-tetrahydro-2-[3-(3-thieno[2,3-d]isoxazol-3-

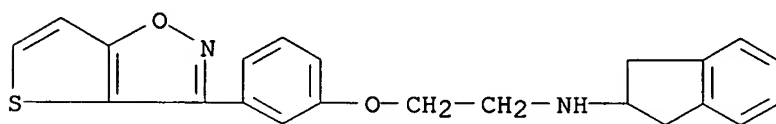
10/088369

ylphenoxy)propyl]- (9CI) (CA INDEX NAME)



RN 330679-32-8 CAPLUS

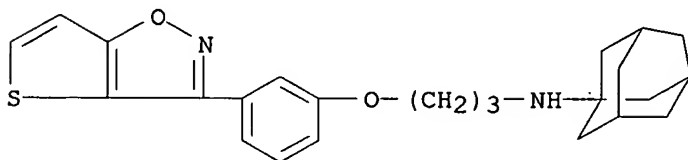
CN 1H-Inden-2-amine, 2,3-dihydro-N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

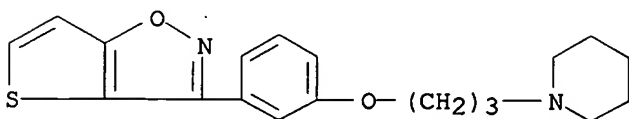
RN 330679-33-9 CAPLUS

CN Tricyclo[3.3.1.3^0,7]decan-1-amine, N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)



RN 330679-34-0 CAPLUS

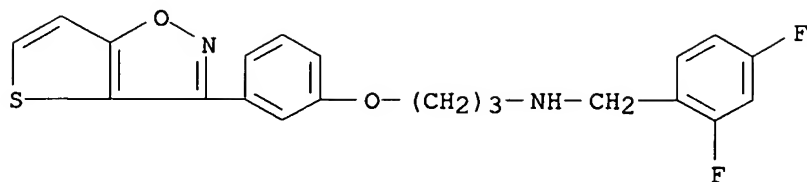
CN Thieno[2,3-d]isoxazole, 3-[3-[3-(1-piperidinyl)propoxy]phenyl]- (9CI)
(CA INDEX NAME)



RN 330679-35-1 CAPLUS

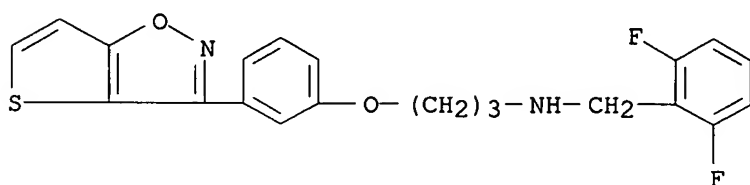
CN Benzenemethanamine, 2,4-difluoro-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)

10/088369



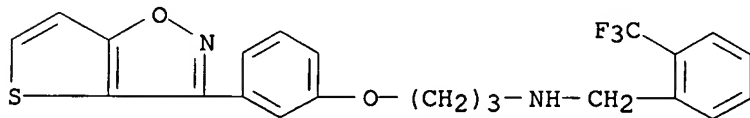
RN 330679-36-2 CAPLUS

CN Benzenemethanamine, 2,6-difluoro-N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]- (9CI) (CA INDEX NAME)



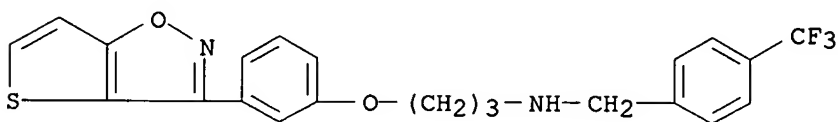
RN 330679-37-3 CAPLUS

CN Benzenemethanamine, N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 330679-38-4 CAPLUS

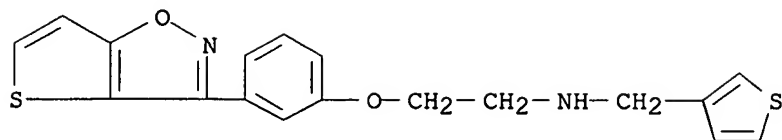
CN Benzenemethanamine, N-[3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 330679-39-5 CAPLUS

CN 3-Thiophenemethanamine,
N-[2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)ethyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

10/088369

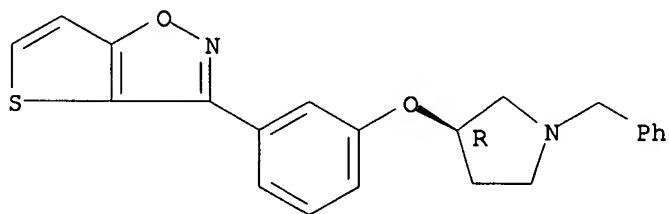


● HCl

RN 330981-75-4 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-[[3-(phenylmethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



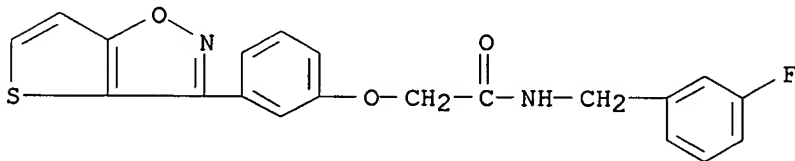
IT 330679-41-9P 330679-44-2P 330679-45-3P
330679-46-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(aralkyl) (thienoisoxazolylphenoxy)alkanamines and analogs as dopamine D4 antagonists)

RN 330679-41-9 CAPLUS

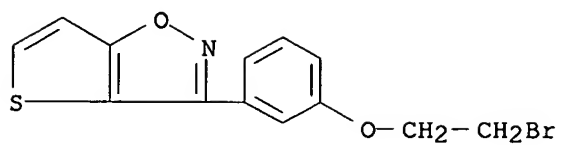
CN Acetamide, N-[(3-fluorophenyl)methyl]-2-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)- (9CI) (CA INDEX NAME)



RN 330679-44-2 CAPLUS

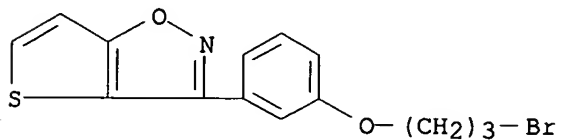
CN Thieno[2,3-d]isoxazole, 3-[3-(2-bromoethoxy)phenyl]- (9CI) (CA INDEX NAME)

10/088369



RN 330679-45-3 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-(3-bromopropoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 330679-46-4 CAPLUS

CN Thieno[2,3-d]isoxazole, 3-[3-(3-chloropropoxy)phenyl]- (9CI) (CA INDEX NAME)

